

TITLE: Preparation of novel 4-(1,2,3,4-tetrahydroisoquinolin-2-yl)pyrimidines possessing an excellent anti-secretory activity

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PATENT ASSIGNEE(S): Yuhan Corp., S. Korea

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CODEN: PIXXD2

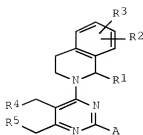
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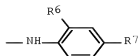
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843968	A1	19981008	WO 1998-KR58	19980324 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 188411	A1	20020921	IN 1998-DE724	19980323 <--
CA 2284795	A1	19981008	CA 1998-2284795	19980324 <--
CA 2284795	C	20040120		
AU 9865239	A	19981022	AU 1998-65239	19980324 <--
AU 720385	B2	20000601		
BR 9808070	A	20000308	BR 1998-8070	19980324 <--
TR 9902383	T2	20000621	TR 1999-2383	19980324 <--
EP 1015444	A1	20000705	EP 1998-911242	19980324 <--
EP 1015444	B1	20030528		
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JP 2000513014	T	20001003	JP 1998-541487	19980324 <--
JP 3176379	B2	20010618		
HU 2000000851	A2	20010428	HU 2000-851	19980324 <--
HU 2000000851	A3	20020429		
RU 2203894	C2	20030510	RU 1999-122603	19980324 <--
AT 241613	T	20030615	AT 1998-911242	19980324 <--
CN 1118464	B	20030820	CN 1998-803765	19980324 <--
PT 1015444	T	20031031	PT 1998-911242	19980324 <--
ES 2200324	T3	20040301	ES 1998-911242	19980324 <--
TW 542831	B	20030721	TW 1998-87104605	19980327 <--
MX 9908822	A	20000731	MX 1999-8822	19990924 <--
US 6352993	B1	20020305	US 1999-381814	19990924 <--
HK 1026418	A1	20040305	HK 2000-105563	20000905 <--
PRIORITY APPLN. INFO.:				
KR 1997-10862				A 19970327 <--
KR 1997-10863				A 19970327 <--
WO 1998-KR58				W 19980324 <--
OTHER SOURCE(S): MARPAT 129:302651				
GI				



I



II

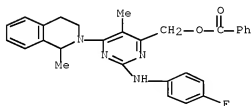
AB The title compds. [I; when A = piperidin-1-yl, NHB (wherein B = C3-4 alkyl, C3-4 alkenyl, C3-7 cycloalkyl, etc.); R1 = H, Me; R2-R5 = H; and A = II when R1 = HOCH2, C1-3 alkoxymethyl; R2-R6 = H, and R7 = H, halo; or when R1 = H, Me; R7 = H, halo; and one or two of R2-R6 = OH, MeO, CC(O)Z (wherein Z = C1-4 alkyl, C2-4 alkenyl, cycloalkyl, etc.)], useful in the treatment of peptic ulcers, were prepared. Thus, reaction of allylamine with 2-chloro-5,6-dimethyl-4-(1,2,3,4-tetrahydroisoquinolin-2-yl)pyrimidine in the presence of Et3N in DMF afforded 28.5% I.HCl [A = H2C:CHCH2NH; R1-R5 = H] which showed IC50 of 7.85 μ M against H+/K+-ATPase.

IT 214538-62-2P 214538-66-6P 214538-70-2P
214538-73-5P 214538-77-9P 214538-79-1P
214538-81-5P 214538-83-7P 214538-89-3P
214538-91-7P 214538-94-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 4-(1,2,3,4-tetrahydroisoquinolin-2-yl)pyrimidines possessing an excellent anti-secretory activity)

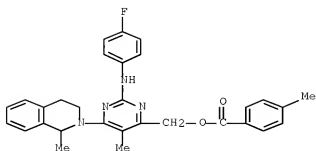
RN 214538-62-2 ZCAPLUS

CN 4-Pyrimidinemethanol, 6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-, benzoate (ester) (9CI) (CA INDEX NAME)



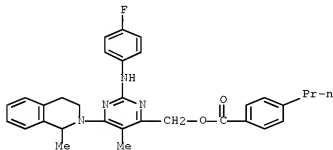
RN 214538-66-6 ZCAPLUS

CN Benzoic acid, 4-methyl-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]methyl ester (CA INDEX NAME)



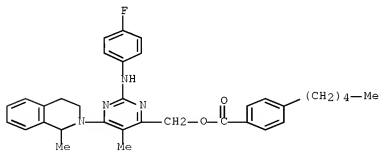
RN 214538-70-2 ZCAPLUS

CN Benzoic acid, 4-propyl-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]methyl ester (CA INDEX NAME)



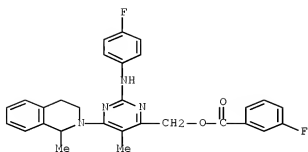
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CN Benzoic acid, 4-pentyl-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]methyl ester (CA INDEX NAME)



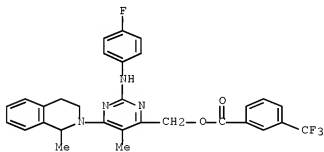
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CN Benzoic acid, 3-fluoro-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]methyl ester (CA INDEX NAME)



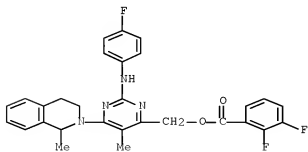
RN 214538-79-1 ZCAPLUS

CN Benzoic acid, 3-(trifluoromethyl)-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]methyl ester (CA INDEX NAME)



RN 214538-81-5 ZCAPLUS

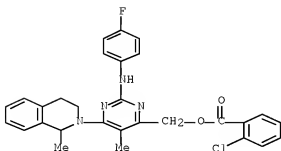
CN Benzoic acid, 2,3-difluoro-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]methyl ester (CA INDEX NAME)



RN 214538-83-7 ZCAPLUS

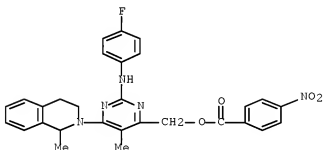
CN Benzoic acid, 2-chloro-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-

[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl)methyl ester (CA INDEX NAME)



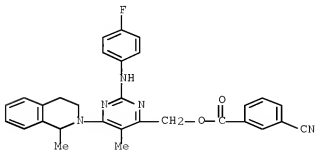
RN 214538-89-3 ZCAPLUS

CN 4-Pyrimidinemethanol, 6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-, 4-nitrobenzoate (ester) (9CI) (CA INDEX NAME)



RN 214538-91-7 ZCAPLUS

CN Benzoic acid, 3-cyano-, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl)methyl ester (CA INDEX NAME)



RN 214538-94-0 ZCAPLUS

CN 1-Naphthalenecarboxylic acid, [6-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-[(4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl)methyl ester (CA INDEX NAME)

